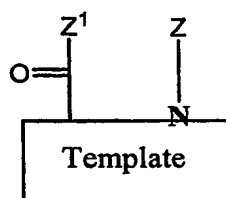


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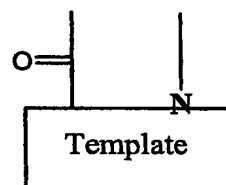
1. Compounds of the general formula

5

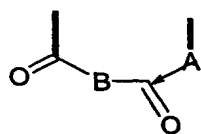


(I)

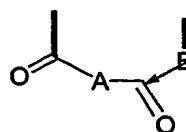
wherein



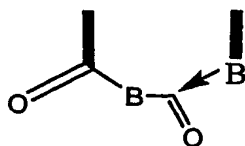
- 10 is a group of one of the formulae



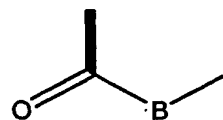
(a1)



(a2)

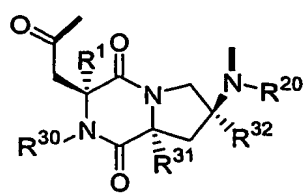


(a3)

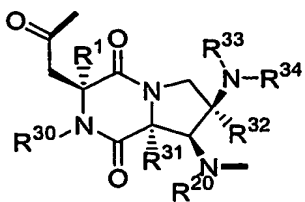


(a4)

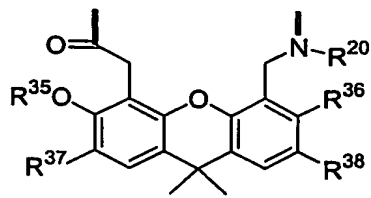
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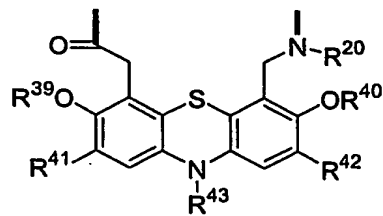
(b1)



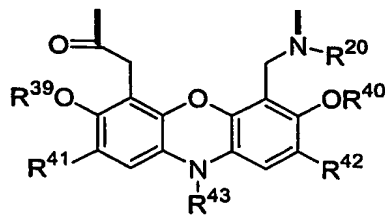
(b2)



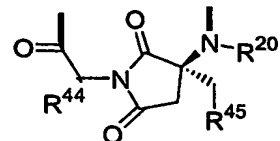
(c1)



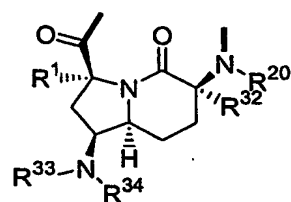
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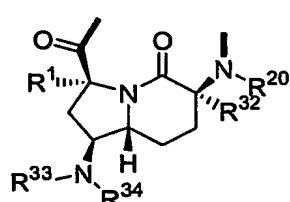
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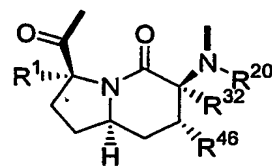
(d)



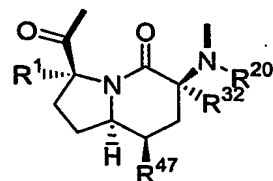
(e1)



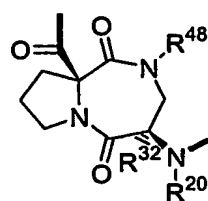
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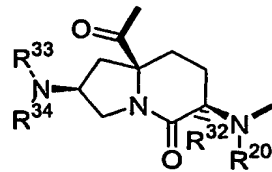
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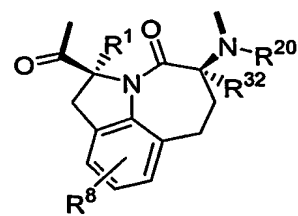
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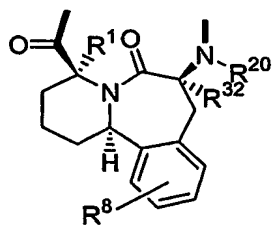
(f)



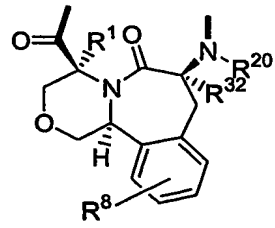
(g)



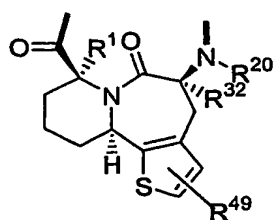
(h)



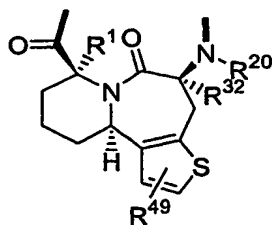
(i1)



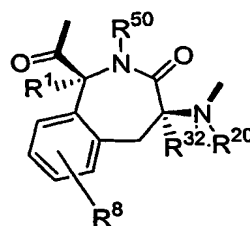
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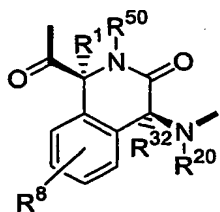
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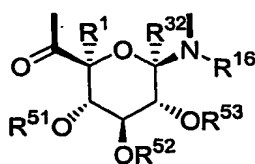
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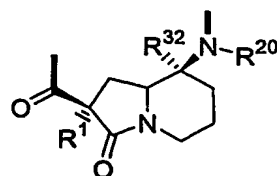
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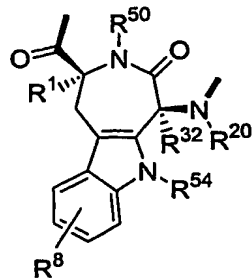
(k)



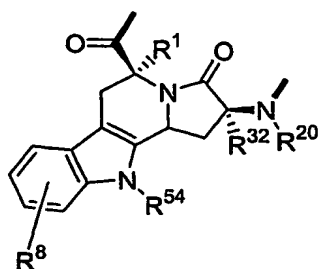
(l)



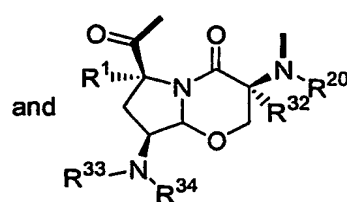
(m)



(n)

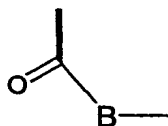


(o)



(p)

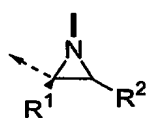
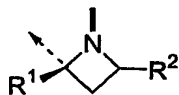
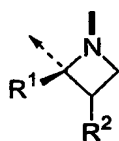
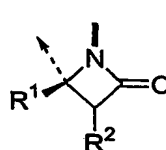
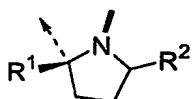
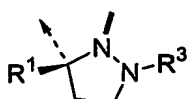
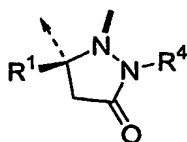
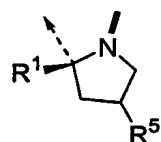
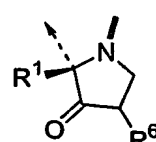
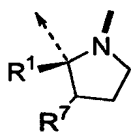
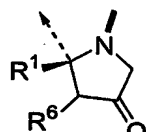
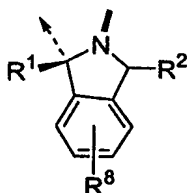
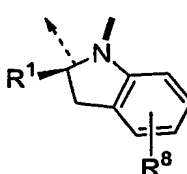
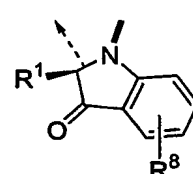
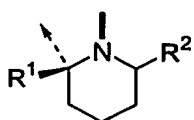
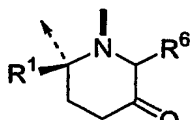
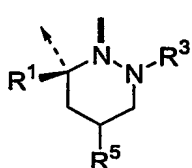
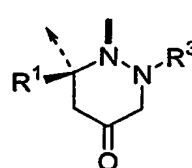
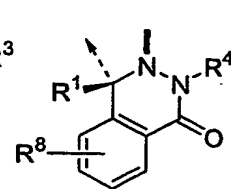
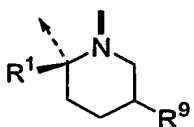
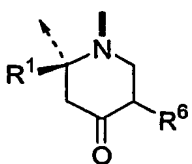
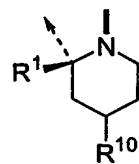
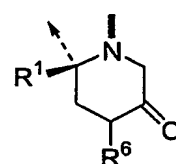
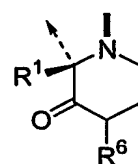
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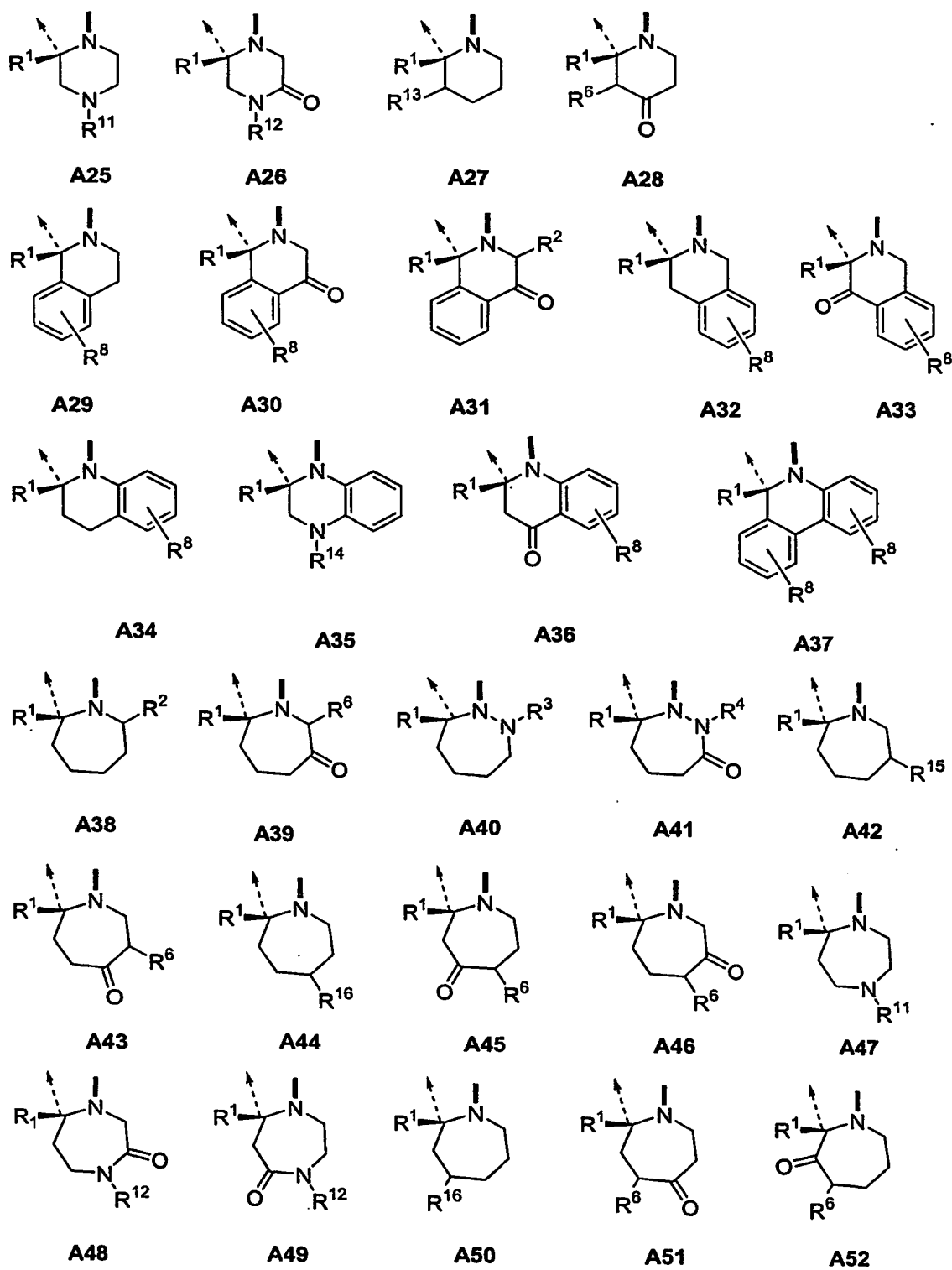


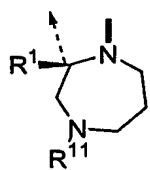
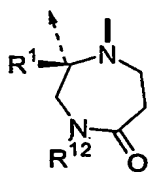
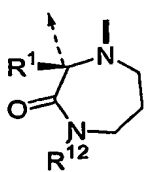
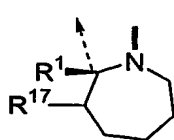
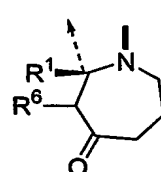
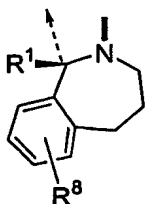
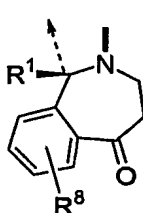
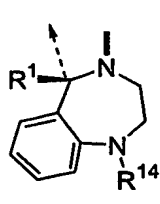
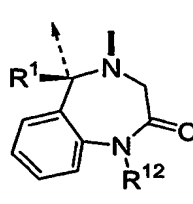
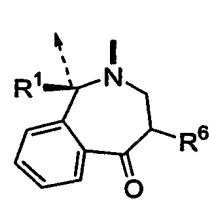
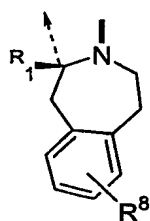
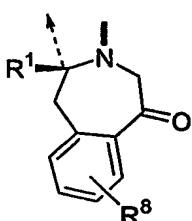
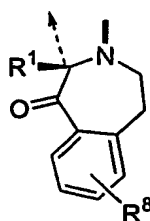
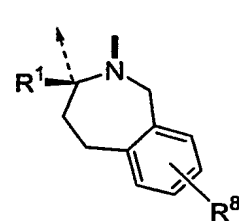
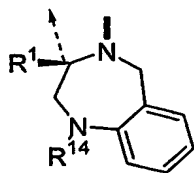
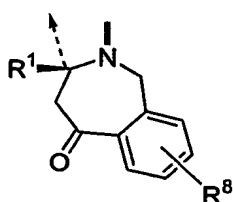
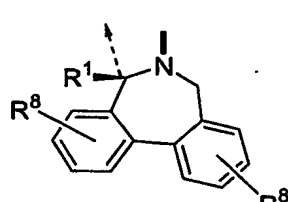
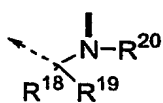
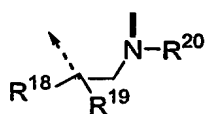
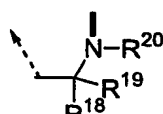
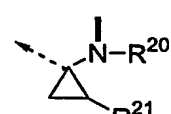
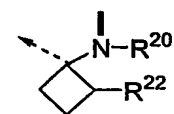
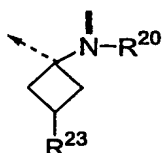
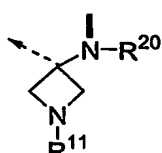
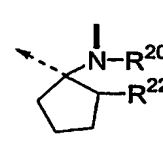
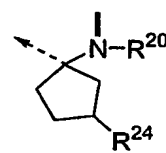
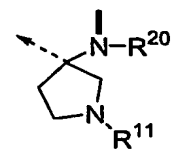
- 5 is the residue of an L- α -amino acid with B being a residue of formula $-\text{NR}^{20}\text{CH}(\text{R}^{71})-$; or the enantiomer of one of the groups A1 to A69 as defined hereinafter; or, in case the template is of type (a4), also a residue of an amino acid with B being a residue of formula $-\text{NR}^{20}-\text{CH}_2-\text{C}_6\text{H}_4-\text{CH}_2-$;

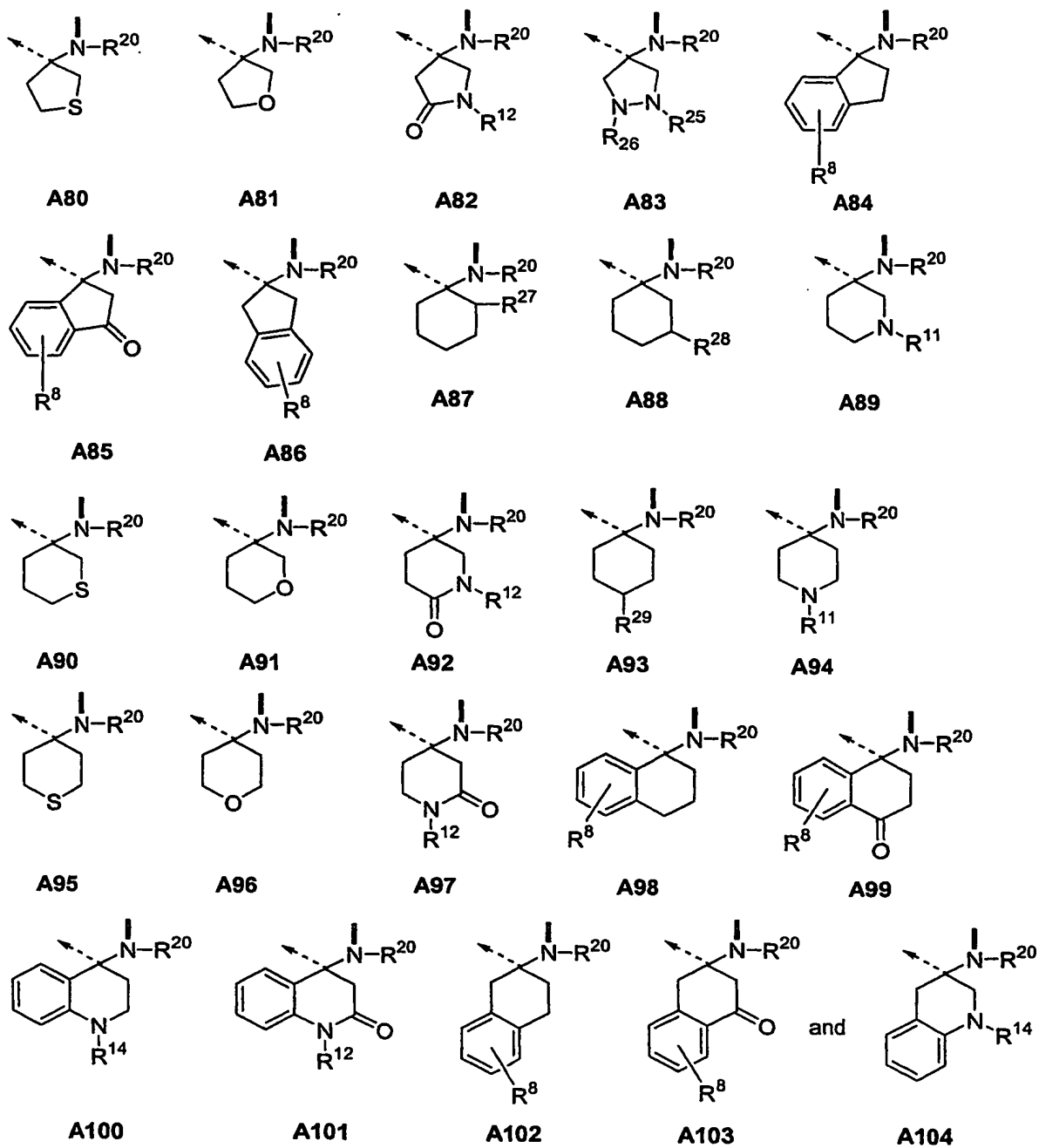


is a group of one of the formulae

**A1****A2****A3****A4****A5****A6****A7****A8****A9****A10****A11****A12****A13****A14****A15****A16****A17****A18****A19****A20****A21****A22****A23****A24**



**A53****A54****A55****A56****A57****A58****A59****A60****A61****A62****A63****A64****A65****A66****A67****A68****A69****A70****A71****A72****A73****A74****A75****A76****A77****A78****A79**



R^1 is H; lower alkyl; or aryl-lower alkyl;

R^2 is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;

- $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R³ is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 5 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R⁴ is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$; -
 $(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 10 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R⁵ is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 15 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R⁶ is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 20 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R⁷ is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$;
 25 $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$;
 R⁸ is H; Cl; F; CF₃; NO₂; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl;
 $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 30 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sCOR^{64}$;
 R⁹ is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;

- R^{10} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- 5 R^{11} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{12} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
10 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$;
- R^{13} is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sSR^{56}$; $-(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$;
15 $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_q(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
- R^{14} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
20 $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_q(CHR^{61})_sSOR^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
- R^{15} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
25 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{16} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
30 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{17} is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sSR^{56}$; $-(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_q(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
- R^{18} is alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sSR^{56}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;

- $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 5 R^{19} is lower alkyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sSR^{56}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$; or
 R^{18} and R^{19} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$;
 10 R^{20} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{21} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 15 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{22} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 20 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{23} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 25 R^{24} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{25} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 30 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{26} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;

- $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; -
 $(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$; or
5 R^{25} and R^{26} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_rO(CH_2)_r$; $-(CH_2)_rS(CH_2)_r$; or
 $-(CH_2)_rNR^{57}(CH_2)_r$;
 R^{27} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$;
10 $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{28} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_s$
 $NR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
15 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{29} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
20 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{30} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{31} is H; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
25 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{32} is H; lower alkyl; or aryl-lower alkyl;
 R^{33} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOR^{64}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
30 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{34} is H; lower alkyl; aryl, or aryl-lower alkyl;
 R^{33} and R^{34} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$;
 R^{35} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;

- $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
 5 R^{36} is H, alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 10 R^{37} is H; F; Br; Cl; NO_2 ; CF_3 ; lower alkyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 15 R^{38} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 20 R^{39} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{40} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{41} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 25 R^{42} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 30 R^{43} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{44} is alkyl; alkenyl; $-(CH_2)_r(CHR^{61})_sOR^{55}$; $-(CH_2)_r(CHR^{61})_sSR^{56}$; $-(CH_2)_r(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_r(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_r(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;

- $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$;
- 5 R^{45} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_s(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_s(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_s(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_s(CHR^{61})_sC_6H_4R^8$;
- R^{46} is H; alkyl; alkenyl; or $-(CH_2)_o(CHR^{61})_pC_6H_4R^8$;
 R^{47} is H; alkyl; alkenyl; or $-(CH_2)_o(CHR^{61})_sOR^{55}$;
- 10 R^{48} is H; lower alkyl; lower alkenyl; or aryl-lower alkyl;
 R^{49} is H; alkyl; alkenyl; $-(CHR^{61})_sCOOR^{57}$; $(CHR^{61})_sCONR^{58}R^{59}$; $(CHR^{61})_sPO(OR^{60})_2$;
 $-(CHR^{61})_sSOR^{62}$; or $-(CHR^{61})_sC_6H_4R^8$;
- R^{50} is H; lower alkyl; or aryl-lower alkyl;
 R^{51} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 15 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
- R^{52} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 20 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
- R^{53} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$; -
 25 $(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
- R^{54} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 30 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{55} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_m(CHR^{61})_sOR^{57}$;
 $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$; $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$;

$-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$; $-(CH_2)_o(CHR^{61})_s-COR^{64}$; $-(CH_2)_o(CHR^{61})COOR^{57}$;
or

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$;

R^{56} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_m(CHR^{61})_sOR^{57}$;

5 $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$; $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$; $-(CH_2)_o(CHR^{61})_s-COR^{64}$; or
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$;

R^{57} is H; lower alkyl; lower alkenyl; aryl lower alkyl; or heteroaryl lower alkyl;

R^{58} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower
10 alkyl;

R^{59} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower
alkyl; or

R^{58} and R^{59} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$;

15 R^{60} is H; lower alkyl; lower alkenyl; aryl; or aryl-lower alkyl;

R^{61} is alkyl; alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl; $-(CH_2)_mOR^{55}$;
 $-(CH_2)_mNR^{33}R^{34}$; $-(CH_2)_mOCONR^{75}R^{82}$; $-(CH_2)_mNR^{20}CONR^{78}R^{82}$; $-(CH_2)_oCOOR^{37}$;
 $-(CH_2)_oNR^{58}R^{59}$; or $-(CH_2)_oPO(COR^{60})_2$;

R^{62} is lower alkyl; lower alkenyl; aryl, heteroaryl; or aryl-lower alkyl;

20 R^{63} is H; lower alkyl; lower alkenyl; aryl, heteroaryl; aryl-lower alkyl; heteroaryl-lower
alkyl;

$-COR^{64}$; $-COOR^{57}$; $-CONR^{58}R^{59}$; $-SO_2R^{62}$; or $-PO(OR^{60})_2$;

R^{34} and R^{63} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$;

25 R^{64} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower
alkyl;

$-(CH_2)_p(CHR^{61})_sOR^{65}$; $-(CH_2)_p(CHR^{61})_sSR^{66}$; or $-(CH_2)_p(CHR^{61})_sNR^{34}R^{63}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;

R^{65} is H; lower alkyl; lower alkenyl; aryl, aryl-lower alkyl; heteroaryl-lower alkyl; $-COR^{57}$;
30 $-COOR^{57}$; or $-CONR^{58}R^{59}$;

R^{66} is H; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl; heteroaryl-lower alkyl; or
 $-CONR^{58}R^{59}$;

Z and Z' are chains of n and, respectively, n' α -amino acid residues whereby either n is 4 and n' is 6 or n is 5 and n' is 7, the positions of said amino acid residues in said chain Z being counted starting from the N-terminal amino acid and the positions of said amino acid residues in said chain Z' being counted starting from the C-terminal amino acid, whereby these amino acid residues are, depending on their position in the chains, Gly, or Pro, or of one of the types

- C: $-\text{NR}^{20}\text{CH}(\text{R}^{72})\text{CO}-$;
 D: $-\text{NR}^{20}\text{CH}(\text{R}^{73})\text{CO}-$;
 E: $-\text{NR}^{20}\text{CH}(\text{R}^{74})\text{CO}-$;
 10 F: $-\text{NR}^{20}\text{CH}(\text{R}^{84})\text{CO}-$; and
 H: $-\text{NR}^{20}-\text{CH}(\text{CO}-)(\text{CH}_2)_{4-7}-\text{CH}(\text{CO}-)-\text{NR}^{20}-$;
 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(\text{CH}_2)_p\text{SS}(\text{CH}_2)_p-\text{CH}(\text{CO}-)-\text{NR}^{20}-$;
 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(-\text{CH}_2)_p\text{NR}^{20}\text{CO}(\text{CH}_2)_p-\text{CH}(\text{CO}-)-\text{NR}^{20}-$;
 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(-\text{CH}_2)_p\text{NR}^{20}\text{CONR}^{20}(\text{CH}_2)_p-\text{CH}(\text{CO}-)-\text{NR}^{20}-$; and
 15 I: $-\text{NR}^{86}\text{CH}_2\text{CO}-$;
 R⁷¹ is lower alkenyl; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{75}$; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{75}$;
 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$;
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{COOR}^{75}$; $-(\text{CH}_2)_p\text{CONR}^{58}\text{R}^{59}$; $-(\text{CH}_2)_p\text{PO}(\text{OR}^{62})_2$; $-(\text{CH}_2)_p\text{SO}_2\text{R}^{62}$; or
 $-(\text{CH}_2)_o-\text{C}_6\text{R}^{67}\text{R}^{68}\text{R}^{69}\text{R}^{70}\text{R}^{76}$;
 20 R⁷² is H, lower alkyl; lower alkenyl; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{85}$; or $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{85}$;
 R⁷³ is $-(\text{CH}_2)_o\text{R}^{77}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_o\text{R}^{77}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_o\text{R}^{77}$; or $-(\text{CH}_2)_r\text{NR}^{20}(\text{CH}_2)_o\text{R}^{77}$;
 R⁷⁴ is $-(\text{CH}_2)_p\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{NR}^{77}\text{R}^{80}$; $-(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{77}\text{R}^{80}$;
 25 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{77}\text{R}^{80}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 30 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{CNR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{NR}^{77}\text{R}^{80}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;

- $-(CH_2)_rS(CH_2)_pC(=NOR^{50})NR^{78}R^{79}$; $-(CH_2)_rS(CH_2)_pC(=NNR^{78}R^{79})NR^{78}R^{79}$;
 $-(CH_2)_rS(CH_2)_mNR^{80}C(=NR^{80})NR^{78}R^{79}$; $-(CH_2)_rS(CH_2)_mN=C(NR^{78}R^{80})NR^{79}R^{80}$;
 $-(CH_2)_rS(CH_2)_pC_6H_4CNR^{78}R^{79}$; $-(CH_2)_rS(CH_2)_pC_6H_4C(=NR^{80})NR^{78}R^{79}$;
 $-(CH_2)_rS(CH_2)_pC_6H_4C(=NOR^{50})NR^{78}R^{79}$; $-(CH_2)_rS(CH_2)_pC_6H_4C(=NNR^{78}R^{79})NR^{78}R^{79}$;
 5 $-(CH_2)_rS(CH_2)_pC_6H_4NR^{80}C(=NR^{80})NR^{78}R^{79}$; $-(CH_2)_pNR^{80}COR^{64}$; $-(CH_2)_pNR^{80}COR^{77}$;
 $-(CH_2)_pNR^{80}CONR^{78}R^{79}$; or $-(CH_2)_pC_6H_4NR^{80}CONR^{78}R^{79}$;

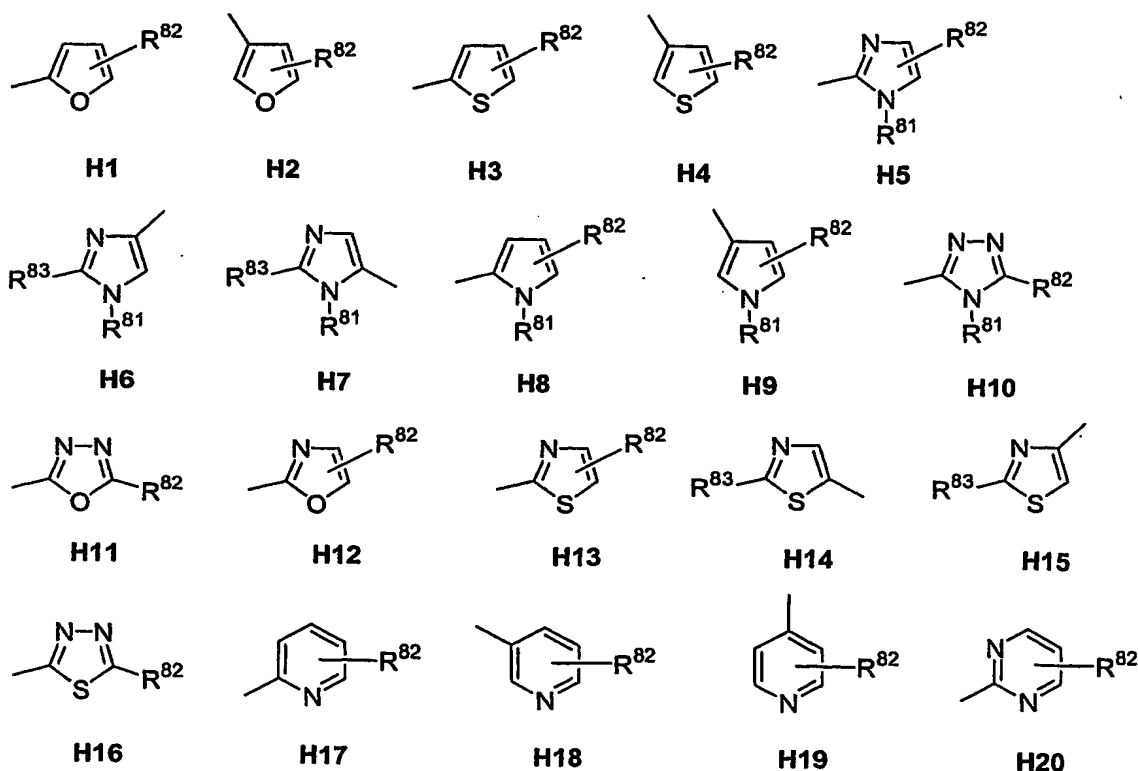
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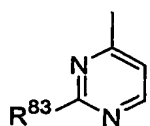
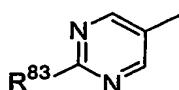
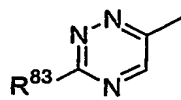
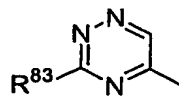
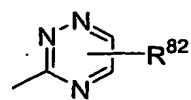
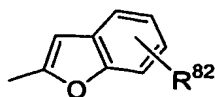
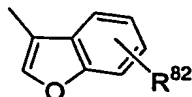
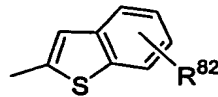
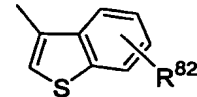
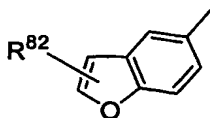
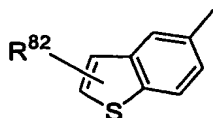
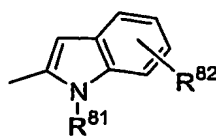
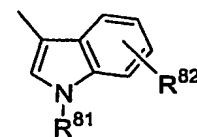
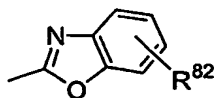
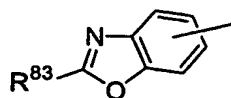
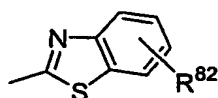
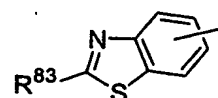
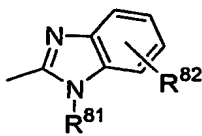
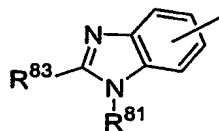
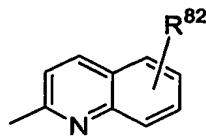
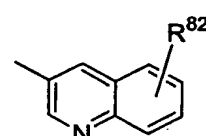
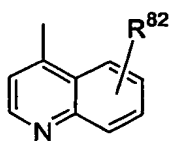
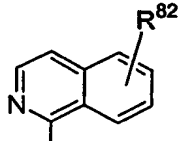
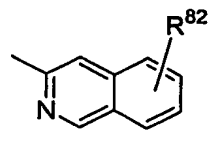
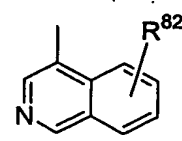
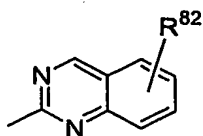
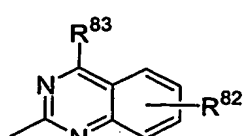
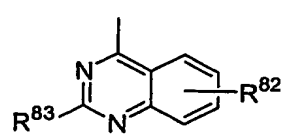
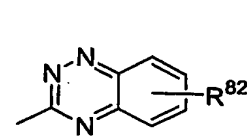
R^{33} and R^{75} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

- 10 R^{75} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

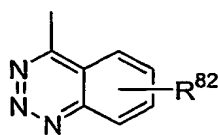
R^{76} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_oOR^{72}$; $-(CH_2)_oSR^{72}$;
 $-(CH_2)_oNR^{33}R^{34}$; $-(CH_2)_oCONR^{33}R^{75}$; $-(CH_2)_oNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_oCOOR^{75}$; $-(CH_2)_oCONR^{58}R^{59}$; $-(CH_2)_oPO(OR^{60})_2$; $-(CH_2)_pSO_2R^{62}$; or
 15 $-(CH_2)_oCOR^{64}$;

R^{77} is $-C_6R^{67}R^{68}R^{69}R^{70}R^{76}$; or a heteroaryl group of one of the formulae



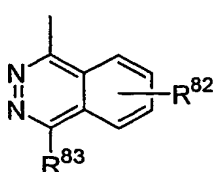
**H21****H22****H23****H24****H25****H26****H27****H28****H29****H30****H31****H32****H33****H34****H35****H36****H37****H38****H39****H40****H41****H42****H43****H44****H45****H46****H47****H48****H49**

- R^{78} is H; lower alkyl; aryl; or aryl-lower alkyl;
 R^{78} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;
 5 R^{79} is H; lower alkyl; aryl; or aryl-lower alkyl; or
 R^{78} and R^{79} , taken together, can be $-(CH_2)_{2-7}-$; $-(CH_2)_2O(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;
 R^{80} is H; or lower alkyl;
 R^{81} is H; lower alkyl; or aryl-lower alkyl;
 R^{82} is H; lower alkyl; aryl; heteroaryl; or aryl-lower alkyl;
 10 R^{33} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;
 R^{83} is H; lower alkyl; aryl; or $-NR^{78}R^{79}$;
 R^{84} is $-(CH_2)_pCONR^{78}R^{79}$; $-(CH_2)_pNR^{80}CONR^{78}R^{79}$; $-(CH_2)_pC_6H_4CONR^{78}R^{79}$; or $-(CH_2)_pC_6H_4NR^{80}CONR^{78}R^{79}$;
 15 R^{85} is lower alkyl; or lower alkenyl;
 R^{86} is R^{74} ; $-[(CH_2)_u-X]_t-(CH_2)_vNR^{78}R^{79}$; $-[(CH_2)_u-X]_t-(CH_2)_v-C(=NR^{80})NR^{78}R^{79}$; X is $-O-$, $-NR^{20}-$,

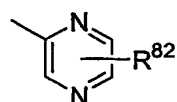


H50

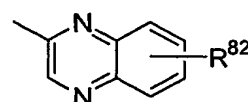
$-S-$, $-OCOO-$, u is 1-3, t is 1-6, v is 1-3;



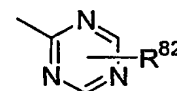
H51



H52



H53



H54

- 20 with the proviso that in said chains Z and Z' of n and , respectively, n' α -amino acid residues
- if n is 4 and n' is 6, the amino acid residues in positions 1 to 4 of Z and in positions 1' to 6' of Z' are:
- 25
- P1: of type C or of type D or of type E or of type F, or the residue is Pro;
 - P2: of type E or of type F;
 - P3: of type F, or the residue is Pro;
 - P4: of type E;

- P1': of type C or of type D or of type E or of type F, or the residue is Gly;
- P2': of type D or of type C;
- P3': of type F or the residue is Pro;
- 5 - P4': of type D or of type C;
- P5': of type E, or of type F or the residue is Pro; and
- P6': of type E or of type F, or the residue is Pro; or

- P3 and P3', taken together, can form a group of type H;
- 10 and

- if n is 5 and n' is 7, the amino acid residues in positions 1 to 5 of Z and in positions 1' to 7' of Z' are:
- 15
- P1: of type C or of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;
- P4: of type F;
- 20 - P5: of type E

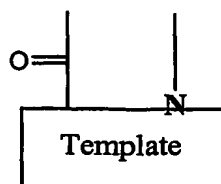
- P1': of type C or of type D or of type E or of type F, or the residue is Pro;
- P2': of type F;
- P3': of type D or the residue is Pro;
- 25 - P4': of type E or of type F;
- P5': of type D, or the residue is Pro;
- P6': of type E or of type F, or the residue is Pro; and
- P7': of type E or of type I, or the residue is Gly; or

- 30 - P2 and P2' and/or P4 and P4', taken together, can form a group of type H;

at P7' also D-isomers being possible,

and pharmaceutically acceptable salts thereof.

2. Compounds according to claim 1 wherein



is a group of formula (a1) or (a2).

5

3. Compounds according to claim 2 wherein A is a group of one of the formulae A1 to A69;

R^1 is hydrogen or lower alkyl;

R^2 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

10 $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -

$(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33}

15 and R^{75} taken together are

$-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

$-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;

20 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -

$(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);

$(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or

25 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -

$(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^3 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

$-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$;

30

$-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

- $-(CH_2)_m OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).
- R^4 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).
- R^5 is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower

- alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
5 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
10 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
15 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^6 is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
20 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
25 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
30 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or

- $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or - $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^7 is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- 5 $-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; - $(CH_2)_2O(CH_2)_2-$;
- $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); - $(CH_2)_qOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
- 10 R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_qNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; - $(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
- 15 $(CH_2)_qN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); - $(CH_2)_qCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_qCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are - $(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
- $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_rPO(OR^{60})_2$ (where R^{60} is lower
- 20 alkyl; or lower alkenyl); $-(CH_2)_rSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or - $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken
- 25 together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
- $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H;
- 30 or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is

- lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is
- 5 lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- R^9 is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; -
- 10 $(CH_2)_2O(CH_2)_2-$;
- $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_oOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or
- 15 lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; -
- $(CH_2)_2O(CH_2)_2-$;
- $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_oN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
- 20 $(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
- $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
- 25 $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- R^{10} is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; -
- $(CH_2)_2O(CH_2)_2-$;
- 30 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_oOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} : H is or lower alkyl); $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;

- or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;-
 $-(CH_2)_2S(CH_2)_2$;- or $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl); -
 $(CH_2)_oN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
5 $(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or
 $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
10 $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{11} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$;
 $-(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl);
15 $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or -
 $(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
20 $-(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl);
 $-(CH_2)_mN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
 $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$;
25 $-(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl);
 $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{12} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
30 $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$;
 $-(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or $-(CH_2)_2NR^{57}(CH_2)_2$;- where R^{57} is H; or lower alkyl);
 $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;- $-(CH_2)_2S(CH_2)_2$;- or -

- (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-;
- 5 -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_rCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_rCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-;
- 10 -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_rPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
- 15 R¹³ is lower alkyl; lower alkenyl; -(CH₂)_qOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_qSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_qNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-;
- (CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_qOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are
- 20 -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_qNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-;
- (CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -
- 25 (CH₂)_qN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_qCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_qCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_rPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_rSO₂R⁶² (where
- 30 R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
- R¹⁴ is H; lower alkyl; lower alkenyl; -(CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_mSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-;

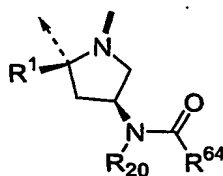
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H;
5 or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl is R^{82} : H; or lower alkyl; or R^{33} and
 R^{82} taken together are $-(CH_2)_{2-6}-$;
 $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
 $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is
10 lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}-$;
 $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is
lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl;
15 lower alkenyl; or lower alkoxy);
 R^{15} is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower
alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; -
 $(CH_2)_2O(CH_2)_2-$;
20 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_oOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or
lower alkyl); $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
25 or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; -
 $(CH_2)_2O(CH_2)_2-$;
 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_oN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl); being particularly favoured; $-(CH_2)_oCOOR^{57}$
30 (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; -
 $(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);

- $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{16} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower
 5 alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 10 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
 or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 15 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is
 lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower
 20 alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); and
 R^{17} is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower
 alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; -
 25 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_qOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 30 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_qNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
 or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_qN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_qCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_qCONR^{58}R^{59}$ (where R^{58} is

- lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_2PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_2SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).

4. Compounds according to claim 2 or 3 wherein A is a group of one of the formulae A5 (with R^2 being H); A8; A22; A25; A38 (with R^2 being H); A42; and A50.

- 10 5. Compounds according to claim 4 wherein A is a group of formula



A8'

wherein R^{20} is H or lower alkyl; and R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

- 15 6. Compounds according to claim 5 wherein R^{64} is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl; 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.
- 20 7. Compounds according to claim 2 wherein A is a group of one of the formulae A70 to A104;
 R^{20} is H; or lower alkyl;
 R^{18} is lower alkyl;
 R^{19} is lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
25 $-(CH_2)_pSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_pOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or

R³³ and R⁷⁵ taken together are

- (CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl; -(CH₂)_pNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -
 5 (CH₂)₂O(CH₂)₂-;
 -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -
 (CH₂)_pN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl);
 (CH₂)_pCOOR⁵⁷ (where R⁵⁷: lower alkyl; or lower alkenyl); (CH₂)_pCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -
 10 (CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_pSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)_oC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
 R²¹ is H; lower alkyl; lower alkenyl; -(CH₂)_oOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
 15 -(CH₂)_oSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_oNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-; -
 (CH₂)₂O(CH₂)₂-;
 -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -
 (CH₂)_oCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or
 20 R³³ and R⁷⁵ taken together are
 -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl);
 -(CH₂)_oNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-;
 25 -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -
 (CH₂)_oN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -
 (CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl, or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -
 (CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or
 30 -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -
 (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
 R²² is lower alkyl; lower alkenyl; -(CH₂)_oOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
 -(CH₂)_oSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_oNR³³R³⁴ (where R³³ is lower

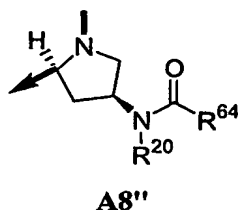
- alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
5 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
10 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is
lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower
alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
15 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H;
F; Cl; CF; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{23} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower
alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; -
20 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
25 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
30 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$
(where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);

- $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{24} is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower
 5 alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_oCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 10 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
 or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 15 $(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_oCOOR^{57}$
 (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
 lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 20 $(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H;
 F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{25} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33}
 25 and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mCONR^{33}R^{75}$ (where R^{33} is H; or
 lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H;
 30 or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and
 R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$;
 where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is
 lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); -
 $(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or

- R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{26} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); or, alternatively, R^{25} and R^{26} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{34}(CH_2)_2-$;
 R^{27} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);

- $(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
 $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(\text{CH}_2)_o\text{N}(\text{R}^{20})\text{COR}^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(\text{CH}_2)_o\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is
5 lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower
alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
10 R^{28} is lower alkyl; lower alkenyl; $-(\text{CH}_2)_o\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_o\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower
alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6}-$; -
 $(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
 $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
15 $(\text{CH}_2)_o\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or
lower alkyl); $-(\text{CH}_2)_o\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl;
or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(\text{CH}_2)_{2-6}-$; -
20 $(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(\text{CH}_2)_o\text{N}(\text{R}^{20})\text{COR}^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(\text{CH}_2)_o\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is
lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower
25 alkyl); $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H;
F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); and
 R^{29} is lower alkyl; lower alkenyl; $-(\text{CH}_2)_o\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_o\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower
30 alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6}-$; -
 $(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
 $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(\text{CH}_2)_o\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are

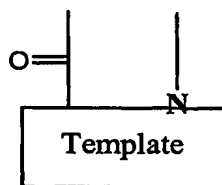
- $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 5 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); - $NR^{20}CO$ lower-alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
 10 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).
- 15 8. Compounds according to claim 7 wherein R^{23} , R^{24} and R^{29} are $-NR^{20}-CO-$ lower alkyl where R^{20} is H; or lower alkyl.
9. Compounds according to claim 7 or 8 wherein A is a group of one of the formulae A74 (with R^{22} being H); a75; A76; A77 (with R^{22} being H); A78; and A79.
- 20 10. Compounds according to any one of claims 2 to 9 wherein B is a group of formula $-NR^{20}CH(R^{71})-$ or an enantiomer of one of the groups A5 (with R^2 being H); A8; A22; A25; A38 (with R^2 being H); A42; A47; and A50.
- 25 11. Compounds according to claim 10 wherein B-CO is Asn; Cys; Gln; His; Met; Phe; Pro; Ser; Thr; Trp; Tyr; Sar; 4AmPhe; 3AmPhe; 2AmPhe; Phe(mC(NH₂)=NH; Phe(pC(NH₂)=NH; Phe(mNH₂C(NH₂)=NH; Phe(pNH₂C(NH₂)=NH; Phg; Cha; C₄al; C₅al; 2-Nal; 1-Nal; 4Cl-Phe; 3Cl-Phe; 2Cl-Phe; 3,4Cl₂Phe; 4F-Phe; 3F-Phe; 2F-Phe; Tic; Thi; Tza; Mso; Y(Bzl); Bip; S(Bzl); T(Bzl); hCha; hCys; hSer; hPhe; Bpa; Pip; OctG; MePhe; MeNle;
- 30 MeAla; MeIle; MeVal; MeLeu, .
12. Compounds according to claim 10 or 11 wherein B is a group, having (L)-configuration, of formula



wherein R^{20} is H; or lower alkyl; and R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

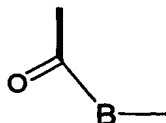
13. Compounds according to claim 12 wherein R^{64} is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl; 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

14. Compounds according to claim 1 wherein



15

is a group of formula (a4) or (b1);



is the residue of AMPA;

20 R^1 is H; or lower alkyl;

R^{20} is H; or lower alkyl;

R^{30} is H; or methyl;

R^{31} is H; lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

$-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33}

25 and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -

$(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{N}(\text{R}^{20})\text{COR}^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{COOR}^{57}$ (where R^{57} is H; lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(\text{CH}_2)_t\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); most preferably $-\text{CH}_2\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is H; or lower alkyl; and R^{59} is lower alkyl; or lower alkenyl); and
 R^{32} is H; or methyl.

15. Compounds according to claim 14 wherein R^1 is H; R^{20} is H; R^{30} is H; R^{31} is carboxymethyl; or lower alkoxy carbonylmethyl; and R^{32} is H.

16. Compounds according to any one of claims 1 to 15 wherein n is 4, n' is 6 and the α -amino acid residues in positions 1 to 4 of the chain Z and 1'-6' in chain Z' are:

- P1: of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;
- P4: of type E;

- P1': of type E or of type F, or the residue is Gly;
- P2': of type D;
- P3': of type F or the residue is Pro;
- P4': of type D;
- P5': of type E, or of type F or the residue is Pro; and
- P6': of type E or of type F, or the residue is Pro; or
- P3 and P3', taken together, can form a group of type H

17. Compounds according to any one of claims 1 to 15 wherein n is 5, n' is 7 and the α -amino acid residues in positions 1 to 5 of the chain Z and 1'-7' in chain Z' are:

- 5
- P1: of type D or of type E or of type F, or the residue is Pro;
 - P2: of type E or of type F;
 - P3: of type F, or the residue is Pro;
 - P4: of type F;
 - 10 - P5: of type E
-
- P1': of type D or of type E or of type F, or the residue is Pro;
 - P2': of type F;
 - P3': of type D or the residue is Pro;
 - 15 - P4': of type F;
 - P5': of type D, or the residue is Pro;
 - P6': of type E or of type F, or the residue is Pro; and
 - P7': of type E or of type I, or the residue is Gly; or
 - P2 and P2' and/or P4 and P4', taken together, can form a group of type H;
 - 20 at P7' also D-isomers being possible.

18. Compounds according to claim 16 wherein the α -amino acid residues in positions 1 to 4 of the chain Z and the α -amino acid residues in positions 1' to 6' chain Z' are:

- 25
- P1: Tyr, or Arg;
 - P2: Cit, or Arg;
 - P3: Cys;
 - P4: Arg-NH₂;
 - P1': Lys, or Arg;
 - P2': Tyr;
 - 30 - P3': Cys;
 - P4': 2-Nal;
 - P5': Arg; and
 - P6': Arg.
 - Cys at pos P3 and P3' form a disulfide bridge

19. Compounds according to claim 17 wherein the α -amino acid residues in positions 1 to 5 of the chain Z and the α -amino acid residues in positions 1' to 7' chain Z' are:

- P1: Tyr;
- 5 - P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg, or Arg-NH₂;
- P1': Lys;
- 10 - P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': 2-Nal, Trp, F(pNH₂), or W(6-Cl);
- P6': Arg; and
- 15 - P7': ^DArg, Arg, Ac-Arg, iPr-Arg, (EA)G, (PrA)G, (BA)G, (EGU)G, (PrGU)G, or (BGU)G.
- Cys at pos P4 and P4' form a disulfide bridge

20. A compound of formula I according to claim 1 wherein the template is ^LLys-^LPro, n is 4, n' is 6 and the amino acid residues in positions 1 to 4 of the chain Z and the amino acid residues in positions 1' to 6' chain Z' are :

- P1: Tyr;
- P2: Cit;
- P3: Cys;
- P4: Arg-NH₂;
- 25 - P1': Arg;
- P2': Tyr;
- P3': Cys;
- P4': 2-Nal;
- P5': Arg; and
- 30 - P6': Arg.

Cys at position P4' and P4 are linked by a disulfide bridge

21. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| 5 | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| 10 | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| 15 | - | P7': | Arg. |

Cys at position P4' and P4 form a disulfide bridge

22. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| 25 | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| 30 | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| | - | P7': | Ac-Arg. |

Cys at position P4' and P4 form a disulfide bridge

23. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| 5 | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| 10 | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| | - | P5': | 2-Nal |
| | - | P6': | Arg; and |
| 15 | - | P7': | ^D Arg. |

Cys at position P4' and P4 form a disulfide bridge

24. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- | | | | |
|----|---|------|-------------------------|
| | - | P1: | Tyr; |
| | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| 25 | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| 30 | - | P5': | Phe(pNH ₂); |
| | - | P6': | Arg; and |
| | - | P7': | Arg. |

Cys at position P4' and P4 form a disulfide bridge

25. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| 5 | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| 10 | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| 15 | - | P7': | (PrA)G. |

Cys at position P4' and P4 form a disulfide bridge

26. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- | | | | |
|----|---|------|----------|
| | - | P1: | Tyr; |
| | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| 25 | - | P5: | Arg; |
| | - | P1': | Lys; |
| | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| 30 | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| | | P7': | Arg. |

Cys at position P4' and P4 form a disulfide bridge

27. A compound of formula I according to claim 1 wherein the template is (b1)-154, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| 5 | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| 10 | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| 15 | | P7': | Arg. |

Cys at position P4' and P4 form a disulfide bridge

28. A compound of formula I according to claim 1 wherein the template is AMPA, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

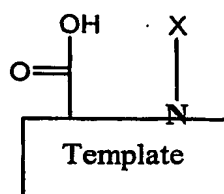
- | | | | |
|----|---|------|-----------------------|
| | - | P1: | Tyr; |
| | - | P2: | Arg; |
| | - | P3: | Cit; |
| | - | P4: | Cys; |
| 25 | - | P5: | Arg-NH ₂ ; |
| | - | P1': | Lys; |
| | - | P2': | Cit; |
| | - | P3': | Tyr; |
| | - | P4': | Cys; |
| 30 | - | P5': | 2-Nal; |
| | - | P6': | Arg; and |
| | | P7': | Arg. |

Cys at position P4' and P4 form a disulfide bridge

29. Enantiomers of the compounds of formulae I as defined in claim 1.
30. Compounds according to any one of claims 1 to 29 for use as therapeutically active substances.
- 5 31. Compounds according the claims 29 for use as CXCR4 antagonists.
32. A pharmaceutical composition containing a compound according to any one of claims 1 to 29 and a pharmaceutically inert carrier.
- 10 33. Compositions according to claim 32 in a form suitable for oral, topical, transdermal, injection, buccal, transmucosal, pulmonary or inhalation administration.
34. Compositions according to claim 32 or 33 in form of tablets, dragees, capsules, solutions, liquids, gels, plaster, creams, ointments, syrup, slurries, suspensions, spray, nebuliser or suppositories.
- 15 35. The use of compounds according to any one of claims 1 to 29 for the manufacture of a medicament for treating or preventing of HIV infections, or for treatment of cancer or for treatment of inflammatory disorders.
- 20 36. A process for the manufacture of compounds according to any one of claims 1-28 which process comprises
- (a) coupling an appropriately functionalized solid support with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 4 of Z if n is 4 or in position 5 of Z if n is 5, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- 25 (b) removing the N-protecting group from the product thus obtained;
- (c) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in Z of the desired end-product is one position nearer the N-terminal amino acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- 30 (d) removing the N-protecting group from the product thus obtained;

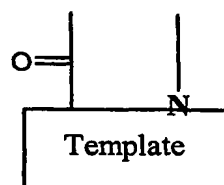
(e) repeating steps (c) and (d) until the N-terminal amino acid residue of Z has been introduced;

(f) coupling the product thus obtained with a compound of the general formula

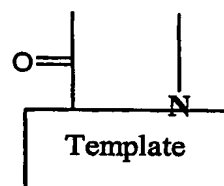


II

5 wherein



is as defined in claim 1 and X is an N-protecting group or, if



10

is to be group (a1), or (a2), above, alternatively

(fa) coupling the product obtained in step (e) with an appropriately N-protected derivative of an amino acid of the general formula

15 HOOC-B-H III or HOOC-A-H IV

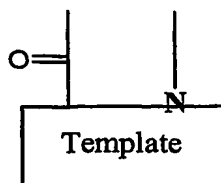
wherein B and A are as defined in claim 1, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(fb) removing the N-protecting group from the product thus obtained; and

(fc) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected; or

20

if



is to be group (a3), above, alternatively

- 5 (fa') coupling the product obtained in step (e) with an appropriately N-protected derivative of an amino acid of the above general formula III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (fb') removing the N-protecting group from the product thus obtained; and
- 10 (fc') coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (g) removing the N-protecting group from the product obtained in step (f) or (fc) or (fc');
- 15 (h) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 1 of Z^1 , any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (i) removing the N-protecting group from the product thus obtained;
- 20 (j) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position farther away from position 1 of Z^1 , any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (k) removing the N-protecting group from the product thus obtained;
- 25 (l) repeating steps (j) and (k) until all amino acid residues of Z^1 have been introduced;
- (m) if desired, selectively deprotecting one or several protected functional group(s) present in the molecule and appropriately substituting the reactive group(s) thus liberated;
- (n) if desired, forming one or two interstrand linkage(s) between side-chains of appropriate amino acid residues at opposite positions of the β -strand region;

- (o) detaching the product thus obtained from the solid support and removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be present in the molecule; and
- 5 (p) if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt..
- 10 37. A process according to claim 36 but wherein an amino acid residue of type I is introduced by coupling with a leaving group-containing acetylating agent, followed by nucleophilic displacement with an amine of the formula H_2NR^{86} which, if necessary, is appropriately protected.
- 15 38. A process according to claim 37 wherein said leaving group-containing acetylating agent is bromo, chloro or iodo acetic acid.
39. A modification of the process according to any one of claims 36 to 38 for the manufacture of compounds according to claim 29 in which enantiomers of all chiral starting
- 20 materials are used.